09/ 924,381

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 NEWS  1          Web Page URLs for STN Seminar Schedule - N. America
 NEWS  2  Jan 25  BLAST(R) searching in REGISTRY available in STN on the Web
 NEWS  3  Jan 29  FSTA has been reloaded and moves to weekly updates
 NEWS  4  Feb 01  DKILIT now produced by FIZ Karlsruhe and has a new update
                  frequency
 NEWS  5  Feb 19  Access via Tymnet and SprintNet Eliminated Effective 3/31/02
 NEWS  6  Mar 08  Gene Names now available in BIOSIS
 NEWS  7  Mar 22  TOXLIT no longer available
 NEWS  8  Mar 22  TRCTHERMO no longer available
 NEWS  9  Mar 28  US Provisional Priorities searched with P in CA/CAplus
                  and USPATFULL
 NEWS 10  Mar 28  LIPINSKI/CALC added for property searching in REGISTRY
 NEWS 11  Apr 02  PAPERCHEM no longer available on STN.  Use PAPERCHEM2 instead.
 NEWS 12  Apr 08  "Ask CAS" for self-help around the clock
 NEWS 13  Apr 09  BEILSTEIN: Reload and Implementation of a New Subject Area
 NEWS 14  Apr 09  ZDB will be removed from STN
 NEWS 15  Apr 19  US Patent Applications available in IFICDB, IFIPAT, and IFIUDB
 NEWS 16  Apr 22  Records from IP.com available in CAPLUS, HCAPLUS, and ZCAPLUS
 NEWS 17  Apr 22  BIOSIS Gene Names now available in TOXCENTER
 NEWS 18  Apr 22  Federal Research in Progress (FEDRIP) now available

 NEWS EXPRESS  February 1 CURRENT WINDOWS VERSION IS V6.0d,
               CURRENT MACINTOSH VERSION IS V6.0a(ENG) AND V6.0Ja(JP),
               AND CURRENT DISCOVER FILE IS DATED 05 FEBRUARY 2002
 NEWS HOURS    STN Operating Hours Plus Help Desk Availability
 NEWS INTER    General Internet Information
 NEWS LOGIN    Welcome Banner and News Items
 NEWS PHONE    Direct Dial and Telecommunication Network Access to STN
 NEWS WWW      CAS World Wide Web Site (general information)
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Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 12:58:38 ON 02 MAY 2002

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

09/ 924,381

FILE 'REGISTRY' ENTERED AT 12:58:42 ON 02 MAY 2002
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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STRUCTURE FILE UPDATES: 30 APR 2002 HIGHEST RN 409303-45-3
DICTIONARY FILE UPDATES: 30 APR 2002 HIGHEST RN 409303-45-3

TSCA INFORMATION NOW CURRENT THROUGH July 7, 2001

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Calculated physical property data is now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

```
=> s pyrazol? or triazol? or tetrazol? or isoxazol?
        445489 PYRAZOL?
        314860 TRIAZOL?
         94975 TETRAZOL?
        124067 ISOXAZOL?
L1      949882 PYRAZOL? OR TRIAZOL? OR TETRAZOL? OR ISOXAZOL?

=> s (sulphonyl or sulfonyl) and biphenyl
            90 SULPHONYL
        717985 SULFONYL
        278269 BIPHENYL
L2       17350 (SULPHONYL OR SULFONYL) AND BIPHENYL

=> s l1 and l2
L3        2804 L1 AND L2

=> s (isoquinolin? or benzisoxazol? or indazol? or quinolin? or quinazol?)
        188765 ISOQUINOLIN?
         14129 BENZISOXAZOL?
         37218 INDAZOL?
        406345 QUINOLIN?
        180878 QUINAZOL?
L4      822183 (ISOQUINOLIN? OR BENZISOXAZOL? OR INDAZOL? OR QUINOLIN? OR QUINA
               ZOL?)

=> s l1 and l2 and l3
L5        2804 L1 AND L2 AND L3

=> file caplus
COST IN U.S. DOLLARS                        SINCE FILE      TOTAL
                                               ENTRY    SESSION
FULL ESTIMATED COST                            51.04      51.25

FILE 'CAPLUS' ENTERED AT 13:03:38 ON 02 MAY 2002
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)
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09/ 924,381

FILE COVERS 1907 - 2 May 2002 VOL 136 ISS 18
FILE LAST UPDATED: 30 Apr 2002 (20020430/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

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=> s l5
L6          299 L5

=> s l6 and thromboembol?
           3071 THROMBOEMBOL?
L7           12 L6 AND THROMBOEMBOL?

=> d l7 1- ibib abs
YOU HAVE REQUESTED DATA FROM 12 ANSWERS - CONTINUE? Y/(N):y

L7   ANSWER 1 OF 12  CAPLUS  COPYRIGHT 2002 ACS
ACCESSION NUMBER:         2002:39605  CAPLUS
DOCUMENT NUMBER:          136:102380
TITLE:                    Preparation of novel guanidine mimics as factor Xa
                          inhibitors
INVENTOR(S):              Lam, Patrick Y.; Clark, Charles G.; Dominguez, Celia;
                          Fevig, John M.; Han, Qi; Li, Renhua; Pinto, Donald J.
                          P.; Pruitt, James R.; Quan, Mimi L.
PATENT ASSIGNEE(S):       Dupont Pharmaceuticals Company, USA
SOURCE:                   U.S., 117 pp.
                          CODEN: USXXAM
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.        KIND  DATE           APPLICATION NO.  DATE
     ---------------   ----  --------       ---------------  --------
     US 6339099         B1   20020115       US 1998-99358    19980618
     US 2002025963      A1   20020228       US 2001-924381   20010808
PRIORITY APPLN. INFO.:                   US 1997-50265P   P  19970620
                                         US 1998-99358    A3 19980618
OTHER SOURCE(S):          MARPAT 136:102380
GI
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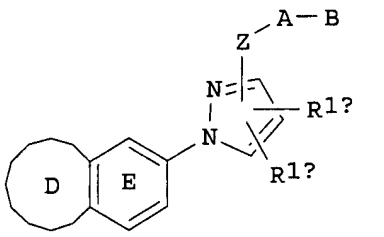

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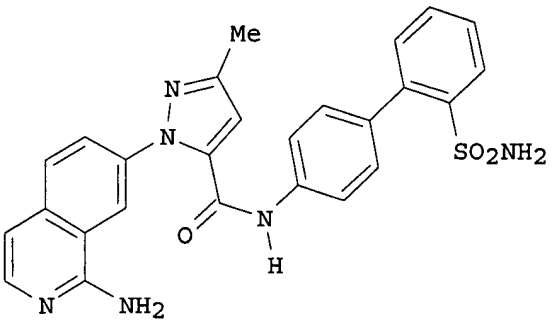

II

AB The title compds. [I; ring D = 5-membered arom. system contg. from 1-2 heteroatoms selected from N, O, S; ring D is substituted with 0-2 R groups; ring E contains 0-2 N atom and is substituted by 0-1 R groups; R = Cl, F, Br, I, OH, alkoxy, amino(alkyl), (alkyl)amino; Z = bond, alkylene, (CH2)rO(CH2)r, (CH2)rNR3(CH2)r, (CH2)rC(O)(CH2)r, (CH2)rC(O)O(CH2)r, (CH2)rOC(O)(CH2)r, (CH2)rC(O)NR3(CH2)r, etc. provided that Z does not form a N-N, N-O, N-S, NCH2N, NCH2O, or NCH2S bond with ring M or group A; R1a-1b = H, alk(en)yl, aminoalkyl, alkoxy, alternatively, R1a-1b, when attached to adjacent carbon atoms, together with the atoms to which they are attached form a 5-8 membered (un)satd. ring (un)substituted and which contains from 0-2 heteroatoms selected from the group consisting of N, O, and S; alternatively, when Z is C(O)NH and R1a is attached to a ring carbon adjacent to Z, then R1a is a C(O) which replaces the amide hydrogen of Z to form a cyclic imide; R3 = H, alkyl, phenyl; A = (un)substituted carbocyclic, 5-10 membered heterocyclic system contg. 1-4 heteroatoms selected from N, O, S; B = H, Y, X-Y; X = sulfonylalkyl, alkylsulfonyl, sulfonamide, etc.; Y = alkylamino, provided that X-Y does not form a N-N, O-N or S-N bond, carbocyclic group, 5-10 membered heterocyclic r = 0-3], inhibitors of factor Xa which are useful in treating and preventing a **thromboembolic** disorder, were prepd. and formulated. Thus, a multi-step synthesis of the title compd. II, starting with 7-aminoisoquinoline, was described. A no. of compds. I were found to exhibit a Ki of .ltoreq. 15 .mu.M against factor Xa.

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2002:10474 CAPLUS
DOCUMENT NUMBER: 136:69811
TITLE: Preparation of 1-(heteroarylphenyl) condensed pyrazole derivatives as factor Xa inhibitors for treatment of **thromboembolic** disorders
INVENTOR(S): Pinto, Donald J. P.; Quan, Mimi L.; Woerner, Francis J.; Li, Renhua
PATENT ASSIGNEE(S): Dupont Pharmaceuticals Company, USA
SOURCE: PCT Int. Appl., 267 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

09/ 924,381

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002000655	A1	20020103	WO 2001-US20113	20010622

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-214032P P 20000623
OTHER SOURCE(S): MARPAT 136:69811
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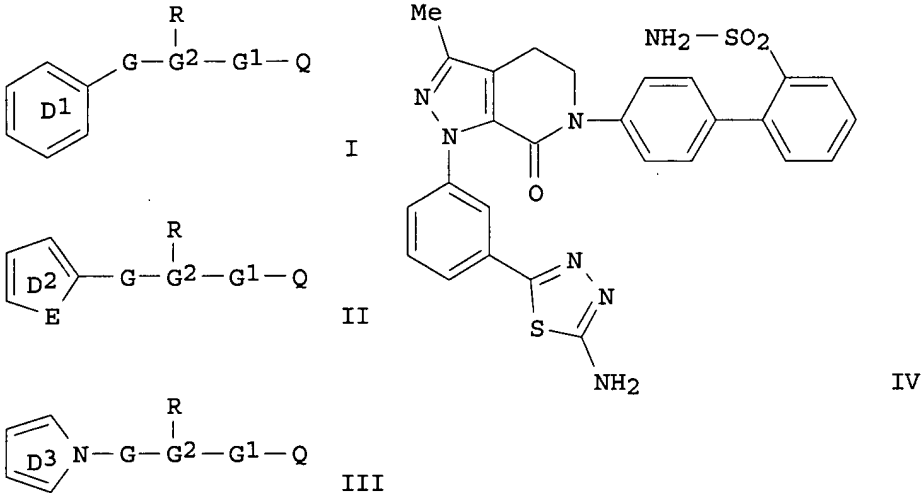


AB Title compds. I, II, and III [wherein ring D1 = pyridine, pyrazine, pyridazine, or pyrimidine substituted with 1 Ra and 0-1 Rb; ring D2 and ring D3 = 5-membered heteroarom. ring substituted with 1 Ra and 0-1 Rb; E = O, S, or NRc; R, Ra, and Rb = independently H, alkyl, halo, OH, alkoxy, CN, (un)substituted carboximidamido, , guanidino, or amino(alkyl), OCF3, etc.; Rc = H, alkyl, alkoxy, (un)substituted carboximidamido, , guanidino, or amino(alkyl), OCF3, etc.; G = absent or (CH2)1-3, (CH2)0-2CO(CH2)0-2, (CH2)0-2NR3(CH2)0-2, or (CH2)0-2SOp(CH2)0-2; G1 = absent or (un)substituted alkyl, alkenyl, alkynyl, (CH2)uCO(CH2)w, (CH2)uCO2(CH2)w, (CH2)uOCO(CH2)w, (CH2)uO(CH2)w, or (CH2)uNR3(CH2)w, etc.; G2 = Ph, naphthyl, or a 5-10 membered heteroaryl ring; R3 = independently H, alkyl, or Ph; p = 0-2; u + w = 0-4; Q = substituted pyrazolo[4,3-d]pyrimidinone, pyrazolo[4,3-d]pyridazinone, pyrazolo[3,4-c]pyridinone, etc.; and pharmaceutically acceptable salts thereof] were prepd. as inhibitors of factor Xa. For example, 1-[4-bromophenyl]-4-acetyl-2,3-dioxopiperidine was prepd. in four steps in 10% overall yield starting from 4-bromoaniline and 5-chloro-2-pentanone ethylene ketal. Addn. of 3-cyanophenyl hydrazine in glacial AcOH, followed by coupling with 2-(tert-butylaminosulfonyl)phenylboronic acid, afforded the biphenyl intermediate (no data). Treatment of the nitrile with thiosemicarbazide gave the aminothiadiazole IV. Some of the invention compds. inhibited factor Xa with Ki values of .ltoreq. 10 .mu.M. Thus, I, II, and III are useful as anticoagulants for the treatment or prevention of **thromboembolic**

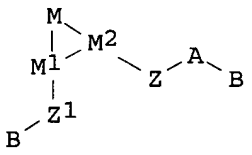
disorders (no data).
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:10470 CAPLUS
DOCUMENT NUMBER: 136:85810
TITLE: Preparation of arylamides and heterocyclylamides as factor Xa inhibitors for treatment of **thromboembolic** disorders
INVENTOR(S): Quan, Mimi L.; Lam, Patrick Y.; Li, Yunlong; Pinto, Donald J. P.
PATENT ASSIGNEE(S): Dupont Pharmaceuticals Company, USA
SOURCE: PCT Int. Appl., 192 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002000651	A2	20020103	WO 2001-US20538	20010627

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-214758P P 20000627
US 2000-246124P P 20001106
OTHER SOURCE(S): MARPAT 136:85810
GI



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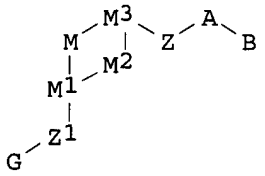

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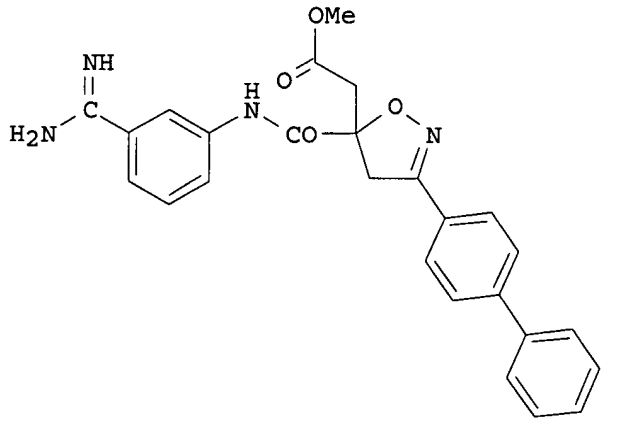

III

AB Title compds. I and II [wherein ring M, including M1, M2, and, if present, M3 = 5-membered arom. heterocycle substituted with 0-2 R1a; or ring M = isoxazoline, isothiazoline, pyrazoline, triazoline, or tetrazoline substituted with 0-2 R1a; R1a = H, (un)substituted alkyl, alkenyl, amino, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, amido, alkoxycarbonylamino, aminocarboxy, etc.; G = 5-6 membered (hetero)cycle optionally fused to Ph, pyridyl, pyrimidyl, pyrazinyl, or pyridazinyl substituted with 0-2 R; R = H, alkyl, halo, OH, alkoxy, CN, (un)substituted carboximidamido, (alkyl)amino, OCF3, etc.; Z = a bond, (un)substituted (CH2)1-4, (CH2)pO(CH2)q, (CH2)pCO(CH2)q, (CH2)pOCO(CH2)q, (CH2)pCO2(CH2)q, (CH2)pNH(CH2)q, etc.; p + q = 0-2; Z1 = (un)substituted (CH2)1-5, (CH2)0-2CH=CH(CH2)0-2, (CH2)0-2C.tplbond.C(CH2)0-2, (CH2)uCO(CH2)w, (CH2)uCO2(CH2)w, (CH2)uO(CH2)w, (CH2)uNH(CH2)w, etc.; u + w = 0-4; A = (un)substituted carbocycle or heterocycle; B = H, Y, or XY; X = (un)substituted (CH2)1-4, CO, C(NH), CH(NH2), CH(OH), CH(SH), COCH2, CH2CO, S, SO, SO2, NHCO, CONH, O, etc.; Y = (un)substituted carbocycle or heterocycle] were prepd. as inhibitors of trypsin-like serine protease enzymes, esp. factor Xa. For example, 4-biphenylcarboxaldehyde oxime (prepn. given) was treated with itaconic acid monomethyl ester and bleach in THF to give 3-([1,1']-biphen-4-yl)-5-(carbomethoxymethyl)isoxazolin-5-ylcarboxylic acid (84%). Amidation with 3-cyanoaniline (28%), followed by conversion to the amidine and elution with TFA, afforded III.bul.TFA. Some of the invention compds. inhibited factor Xa with Ki values of .ltoreq. 10 .mu.M. Thus, I and II are useful as anticoagulant agents for treatment and prevention of **thromboembolic** disorders.

L7 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:10466 CAPLUS
DOCUMENT NUMBER: 136:85809
TITLE: Preparation of heteroarylphenyl substituted factor Xa inhibitors for treatment of **thromboembolic** disorders
INVENTOR(S): Pinto, Donald J. P.; Quan, Mimi L.; Woerner, Francis J.
PATENT ASSIGNEE(S): Dupont Pharmaceuticals Company, USA
SOURCE: PCT Int. Appl., 146 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002000647	A1	20020103	WO 2001-US20112	20010622

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-214033P P 20000623
OTHER SOURCE(S): MARPAT 136:85809
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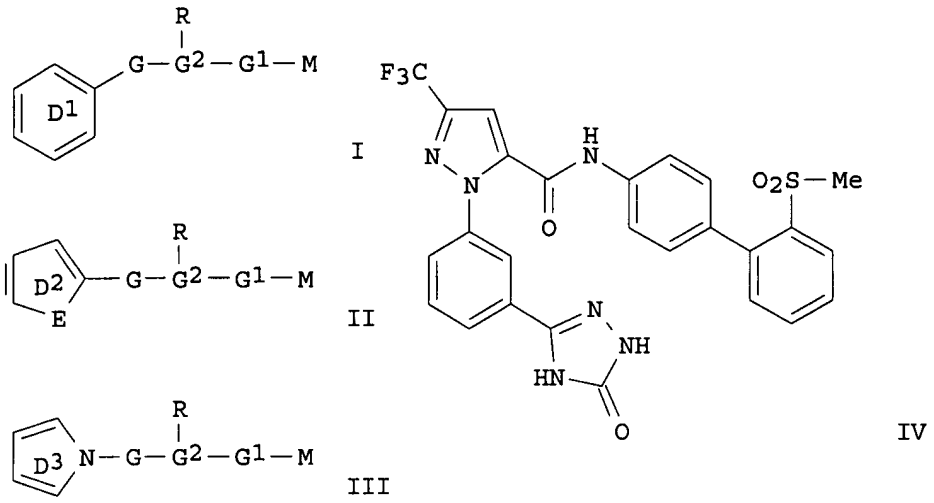


AB Title compds. I, II, and III [wherein ring D1 = pyridine, pyrazine, pyridazine, or pyrimidine substituted with 1 Ra and 0-1 Rb; ring D2 = 5-membered heteroarom. ring substituted with 1 Ra and 0-1 Rb; E = O, 3S, or NRc; ring D3 = 5-membered heteroarom. ring substituted with 1 Ra and 0-1 Rb; R, Ra, and Rb = H, alkyl, halo, OH, alkoxy, CN, (un)substituted carboximidamido, (alkyl)amino, OCF3, etc.; Rc = H, alkyl, alkoxy, (un)substituted (alkyl)amino, OCF3, etc.; G = absent or (CH2)1-3, (CH2)0-2CO(CH2)0-2, (CH2)0-2O(CH2)0-2, (CH2)0-2NH(CH2)0-2, (CH2)0-2SOp(CH2)0-2, etc.; p = 0-2; G1 = (un)substituted (CH2)1-5, (CH2)0-2CH=CH(CH2)0-2, (CH2)0-2C.tplbond.C(CH2)0-2, (CH2)uCO(CH2)w, (CH2)uOCO(CH2)w, (CH2)uCO2(CH2)w, (CH2)uNH(CH2)w, etc.; u + w = 0-4; G2 = Ph, naphthyl, or heteroaryl; M = isoxazoline, pyrazoline, isothiazoline, triazoline tetrazoline, Ph, or substituted 5-6 membered heteroaryl; and pharmaceutically acceptable salts or prodrugs thereof] were prepd. as factor Xa inhibitors. For example, HCl gas was bubbled through 1-(3-cyanophenyl)-3-trifluoromethyl-5-[(2'-sulfonylmethyl-[1,1']-biphen-4-yl)aminocarbonyl]pyrazole in anhyd. EtOH to afford the ethoxyimidate intermediate. Addn. of N-methylmorpholine to the crude product in dioxane, followed by cyclization with semicarbazide.bul.HCl, gave the pyrazolamide IV. Some of the invention compds. inhibited factor Xa with Ki values of .ltoreq. 10 .mu.M. Thus, I are useful as anticoagulants for the treatment of **thromboembolic** disorders (no data).

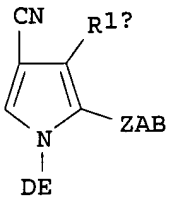
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:338499 CAPLUS
DOCUMENT NUMBER: 134:348280
TITLE: Cyano compounds as factor Xa inhibitors
INVENTOR(S): Pinto, Donald J. P.
PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Company, USA
SOURCE: PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

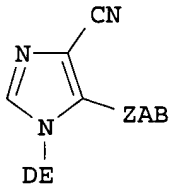
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001032628	A1	20010510	WO 2000-US30209	20001102

W: US
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,

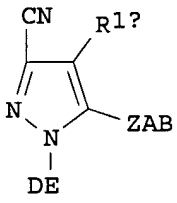
PT, SE, TR
PRIORITY APPLN. INFO.: US 1999-163268P P 19991103
OTHER SOURCE(S): MARPAT 134:348280
GI



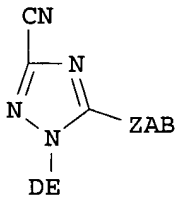
Ia



Ib



Ic



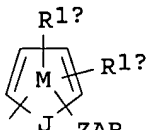
Id

AB The present application describes inhibitors of factor Xa which are cyano-pyrazole, cyano-triazole, cyano-imidazole, and cyano-pyrrole compds. of Formulas Ia, Ib, Ic, and Id: or pharmaceutically acceptable salt forms thereof. Markush structures and exemplary compds. of the invention are given (no data).
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:83115 CAPLUS
DOCUMENT NUMBER: 132:137392
TITLE: Preparation of azoles as Factor Xa inhibitors.
INVENTOR(S): Pinto, Donald Joseph Phillip; Pruitt, James Russell; Cacciola, Joseph; Fevig, John Matthew; Han, Qi; Orwat, Michael James; Quan, Mimi Lifen; Rossi, Karen Anita
PATENT ASSIGNEE(S): Dupont Pharmaceuticals Co., USA
SOURCE: U.S., 152 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6020357	A	20000201	US 1997-995834	19971222

PRIORITY APPLN. INFO.: US 1996-33437P P 19961223
US 1997-50304P P 19970620
OTHER SOURCE(S): MARPAT 132:137392
GI



I

AB Title compds. [I; ring M contains, in addn. to J, 0-3 N atoms; J = N, NH; D = CN, C(:NR8)NR7R9, C(O)NR7R8, etc.; E = (un)substituted Ph, pyridyl, pyrimidinyl, etc.; DEG = R-substituted pyridyl; R = H, halo, CF3, etc.; G = absent, NHCH2, OCH2, etc.; Z = C1-4 alkylene, (CH2)rO(CH2)r, etc.; R1a, R1b = absent, NMe, OMe, etc.; A = (un)substituted C3-10 carbocyclic residue, 5-10 membered heterocyclic contg. from 1-4 heteroatoms selected from N, O, and S; B = (un)substituted C3-10 carbocyclic residue, 5-10 membered heterocyclic contg. from 1-4 heteroatoms selected from N, O, and S, etc.; R7 = H, OH, C1-6 alkyl, etc.; R8, R9 = H, C1-6 alkyl, (CH2)nPh; n = 0-3; r = 0-3; s = 0-2; with provisos], useful as inhibitors of factor Xa, were prepd. and formulated. Thus, treatment of 4-[o-(tert-BuSO2)phenyl]aniline with Me3Al/hexane in CH2Cl2 followed by the addn. of Me 1-(3-cyanophenyl)imidazol-2-ylcarboxylate (prepn. described), and the Pinner reaction of the resulting intermediate afforded 1-(3-amidinophenyl)-2-[(2'-aminosulfonyl-1,1'-biphen-4-yl)aminocarbonyl]imidazole. Several I showed Ki .ltoreq.10 .mu.M against Factor Xa and thrombin.

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1999:9833 CAPLUS

DOCUMENT NUMBER: 130:66494

TITLE: Preparation of novel guanidine mimics as factor Xa inhibitors

INVENTOR(S): Lam, Patrick Y.; Clark, Charles G.; Dominguez, Celia; Fevig, John Matthew; Han, Qi; Li, Renhua; Pinto, Donald Joseph-Phillip; Pruitt, James Russell; Quan, Mimi Lifen

PATENT ASSIGNEE(S): The Du Pont Merck Pharmaceutical Company, USA

SOURCE: PCT Int. Appl., 268 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

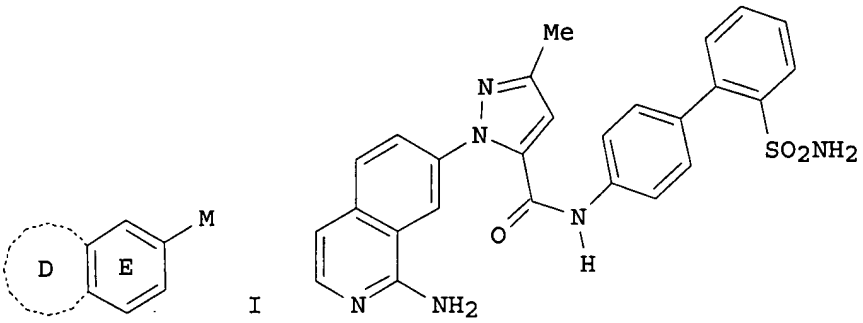
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9857951	A1	19981223	WO 1998-US12680	19980618
W: AU, BR, CA, CN, CZ, EE, HU, IL, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, UA, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9879768	A1	19990104	AU 1998-79768	19980618
EP 991638	A1	20000412	EP 1998-930361	19980618
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
BR 9810137	A	20000808	BR 1998-10137	19980618
JP 2002505686	T2	20020219	JP 1999-504785	19980618
NO 9905965	A	19991203	NO 1999-5965	19991203
LV 12496	B	20010120	LV 1999-178	19991216
LT 4705	B	20000925	LT 1999-147	19991217

PRIORITY APPLN. INFO.: US 1997-878884 A 19970619

WO 1998-US12680 W 19980618

OTHER SOURCE(S): MARPAT 130:66494

GI



I II

AB The title compds. [I; rings D-E represent guanidine mimics; ring D = CH2N:CH, CH2CH2N:CH, a 5-6 membered arom. system contg. 0-2 heteroatoms selected form the group N, O, and S; ring D is substituted with 0-2 R (substituents), provided that when ring D is unsubstituted, it contains at least one heteroatom; ring E contains 0-2 N atom and is substituted by 0-1 R; R = halo, OH, C1-3 alkoxy, etc.; M = (un)substituted pyrazole, imidazole, tetrazole, etc.], inhibitors of factor Xa which are useful in treating and preventing a **thromboembolic** disorder, were prepd. and formulated. Thus, a multi-step synthesis of the title compd. II, starting with 7-aminoisoquinoline, was described. A no. of compds. I were found to exhibit a Ki of .ltoreq. 15 .mu.M against factor Xa.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1998:816104 CAPLUS

DOCUMENT NUMBER: 130:66484

TITLE: Preparation of isoxazoline and isoxazole fibrinogen receptor antagonists

INVENTOR(S): Wityak, John; Xue, Chu-Biao; Sielecki-Dzurdz, Thais Motria; Olson, Richard Eric; Degrado, William Frank; Cain, Gary Avonn; Batt, Douglas Guy; Pinto, Donald; Hussain, Munir Alwan; Mousa, Shaker Ahmed

PATENT ASSIGNEE(S): The DuPont Merck Pharmaceutical Company, USA

SOURCE: U.S., 153 pp., Cont.-in-part of U.S. Ser. No. 337,920, abandoned.
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5849736	A	19981215	US 1995-455436	19950531
CA 2174838	AA	19950601	CA 1994-2174838	19941114
HU 74690	A2	19970128	HU 1996-1414	19941114
EP 970950	A2	20000112	EP 1999-119541	19941114
EP 970950	A3	20000405		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
ES 2154326	T3	20010401	ES 1995-901915	19941114
IL 111721	A1	20000601	IL 1994-111721	19941121

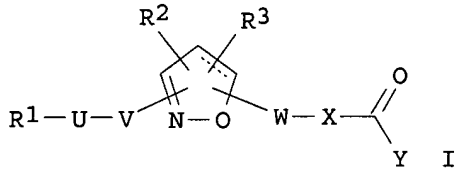
ZA 9409337	A	19960524	ZA 1994-9337	19941124	
CA 2222147	AA	19961205	CA 1996-2222147	19960530	
WO 9638426	A1	19961205	WO 1996-US7692	19960530	
W: AM, AT, AU, AZ, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, HU, JP, KG, KR, KZ, LT, LU, LV, MD, MX, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, TJ, TM, UA, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
AU 9660243	A1	19961218	AU 1996-60243	19960530	
AU 723577	B2	20000831			
EP 832076	A1	19980401	EP 1996-917833	19960530	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI					
CN 1202893	A	19981223	CN 1996-195931	19960530	
JP 11504651	T2	19990427	JP 1996-536579	19960530	
BR 9609151	A	19990629	BR 1996-9151	19960530	
LT 4416	B	19981228	LT 1997-182	19971124	
US 6114328	A	20000905	US 1997-978295	19971125	
LV 12046	B	19980920	LV 1997-239	19971229	

PRIORITY APPLN. INFO.:

US 1993-157598	B2	19931124
US 1994-232961	B2	19940422
US 1994-337920	B2	19941110
US 1994-337929	A2	19941110
EP 1995-901915	A3	19941114
US 1995-455436	A	19950531
WO 1996-US7692	W	19960530

OTHER SOURCE(S): MARPAT 130:66484

GI



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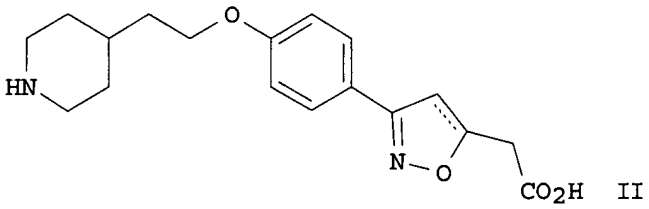


II

AB The invention relates to novel isoxazolines and isoxazoles which are useful as antagonists of the platelet glycoprotein IIb/IIIa fibrinogen receptor complex or the vitronectin receptor. The invention also relates to pharmaceutical compns. contg. the compds., processes for prepg. the compds., and to methods of using these compds., alone or in combination with other therapeutic agents, for the inhibition of platelet aggregation, as thrombolytics, and/or for the treatment of **thromboembolic** disorders. Such disorders include restenosis, atherosclerosis, stroke, myocardial infarction, and unstable angina. In particular, title compds. I are claimed [wherein: R1 = a variety of cyclic and/or acyclic N-contg. groups; R2 = H, alk(en/yn)yl, alkoxy, aryl, heteroaryl, CO2H or certain derivs.; R3 = H, OH, alky(en/yn)yl, alkoxy, alkoxycarbonyl, (un)substituted aryl or heterocyclyl, etc.; U = single bond,

alk(en/yn)ylene; V = single bond, (un)substituted alk(en/yn)ylene, C6H4, pyridinediyl, pyridazinediyl; W = (un)substituted (CH2)nCONH or CONH(CH2)n; X = (un)substituted alkylene; Y = OH and derivs.]. For instance, 4-hydroxybenzaldehyde was etherified with 2-[N-(tert-butoxycarbonyl)piperidin-4-yl]ethanol by Mitsunobu reaction (70%), followed by oximation of the aldehyde with NH2OH (87%), chlorination of the oxime to give an oximinoyl chloride (52%), dipolar cycloaddn. of this with Me 3-butenoate (77%), sapon. of the Me ester (74%), and hydrolysis of the BOC group with CF3CO2H (TFA), to give title compd. II.TFA in 60% yield. II inhibited aggregation of human platelets in vitro, using a variety of agonists, with IC50 of < 10 .mu.M.

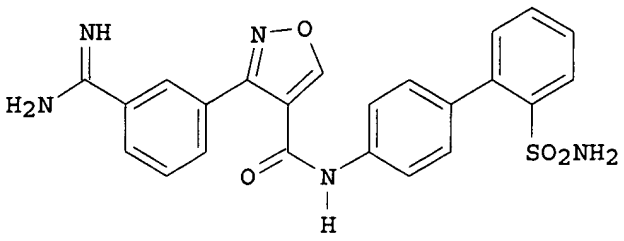
REFERENCE COUNT: 58 THERE ARE 58 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:479515 CAPLUS
DOCUMENT NUMBER: 129:95486
TITLE: Preparation of amidinophenyl(is)oxazolecarboxamides and analogs as factor Xa inhibitors
INVENTOR(S): Pruitt, James Russell; Fevig, John Matthew; Quan, Mimi Lifen; Pinto, Donald Joseph Phillip
PATENT ASSIGNEE(S): The Du Pont Merck Pharmaceutical Co., USA
SOURCE: PCT Int. Appl., 248 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9828282	A2	19980702	WO 1997-US23470	19971218
W: AM, AU, AZ, BR, BY, CA, CN, CZ, EE, HU, IL, JP, KG, KR, KZ, LT, LV, MD, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, UA, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9866459	A1	19980717	AU 1998-66459	19971218
EP 946528	A2	19991006	EP 1997-954988	19971218
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2001506271	T2	20010515	JP 1998-528962	19971218

PRIORITY APPLN. INFO.: US 1996-771814 A 19961223
US 1997-879763 A 19970620
WO 1997-US23470 W 19971218

OTHER SOURCE(S): MARPAT 129:95486
GI



I

AB DEG(CH2)nZ1ZAB [I; A = (un)substituted carbo- or heterocyclylene; B = amino(alkyl), (un)substituted amidino(amino), carbo- or heterocyclyl, etc.; D = cyano, amino(alkyl), (un)substituted amidino(amino), etc.; E = phenylene, pyridinediyl, pyrimidinediyl, etc.; G = bond, NHCH2, OCH2, SCH2; Z = alkylene, CH2O, CO, CONH, etc.; Z1 = (un)substituted furandiyl,

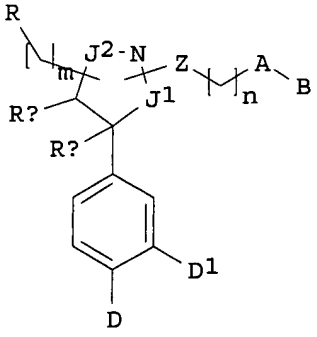
-thiophenediyl, oxazolediyl, etc.; n = 0-2] were prepd. Thus, 3-(NC)C6H4C(:NOH)Cl was cyclocondensed with MeOCH:CHCO2Me and the sapond. product amidated by 4-(H2N)C6H4C6H4(SO2NHCMe3)-2 (prepn. given) to give, after acid hydrolysis, title compd. II. Data for biol. activity of I were given.

L7 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:126233 CAPLUS
DOCUMENT NUMBER: 128:192644
TITLE: Preparation of amidinophenyl-pyrrolidines, -pyrrolines, and -isoxazolidines as inhibitors of factor Xa
INVENTOR(S): Fevig, John Matthew; Quan, Mimi Lifen
PATENT ASSIGNEE(S): Du Pont Merck Pharmaceutical Company, USA
SOURCE: PCT Int. Appl., 206 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9806694	A1	19980219	WO 1997-US14222	19970813
W: AM, AU, AZ, BR, BY, CA, CN, CZ, EE, HU, IL, JP, KG, KR, KZ, LT, LV, MD, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, UA, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 6057342	A	20000502	US 1997-888718	19970707
AU 9740645	A1	19980306	AU 1997-40645	19970813
EP 934265	A1	19990811	EP 1997-938270	19970813
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2000516234	T2	20001205	JP 1998-509980	19970813

PRIORITY APPLN. INFO.:
US 1996-689945 A 19960816
US 1996-33436P P 19961223
US 1996-23417P P 19960816
WO 1997-US14222 W 19970813

OTHER SOURCE(S): MARPAT 128:192644
GI



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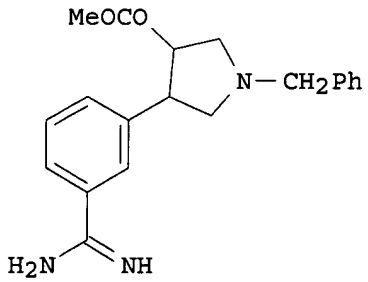


II

AB The title compds. [I; D, D1= CN, C(:NR7)NR8R9, NHC(:NR7)NR8R9, etc.; Z = CH2, C(O), CH2C(O), etc.; J1, J2 = O, CH2 (provided that if J1 = O, then J2 = CH2 and if J2 = O, then J1 = CH2); R = CO2R1, COR1, OR1, etc.; Ra = H, C1-4 alkyl; Rb = H; when J1, J2 = CH2, then Ra, Rb = a bond; R1 = H, (un)substituted C1-4 alkyl, C3-6 carbocyclyl, etc.; A = (un)substituted

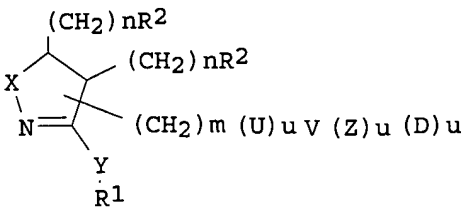
C3-13 carbocyclyl, 5-10 membered heterocyclyl; B = XY, NR2R2a, C(:NR2)NR2R2a, etc.; X = C1-4 alkylene, C(O), C(O)NR2, etc.; Y = (un)substituted C3-10 carbocyclyl, 5-10 membered heterocyclyl; R2, R2a = H, C1-4 alkyl, Ph; R2R2a = (un)substituted 5-6 membered ring; R7 = H, OH, C1-6 alkyl, etc.; R8, R9 = H, C1-6 alkyl, (CH2)nphenyl; n = 0-3; m = 0-2] and their salts, useful as anticoagulants in treating or preventing **thromboembolic** disorders, were prepd. Thus, treatment of 3-cyanobenzaldehyde with Me (triphenylphosphoranylidene)acetate followed by reacting the resulting Me trans-3-cyanocinnamate with N-benzyl-N-(trimethylsilylmethyl)aminomethyl Me ether, and HCl gas bubbling through the soln. of trans-1-benzyl-3-carbomethoxy-4-(3-cyanophenyl)pyrrolidine, and treatment of the resulting solid with (NH4)2CO3 in MeOH afforded the title compd. trans-II. Some compds. I were evaluated and showed Ki of < 5 .mu.M against human thrombin.

L7 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:503386 CAPLUS
DOCUMENT NUMBER: 127:135788
TITLE: Preparation of isoxazoline, isothiazoline and pyrazoline as factor Xa inhibitors
INVENTOR(S): Quan, Mimi Lifen; Wityak, John; Galemmo, Robert Anthony, Jr.; Stouten, Petrus F. W.; Pruitt, James Russell
PATENT ASSIGNEE(S): Du Pont Merck Pharmaceutical Company, USA
SOURCE: PCT Int. Appl., 191 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9723212	A1	19970703	WO 1996-US20076	19961217
W: AM, AU, AZ, BR, BY, CA, CN, CZ, EE, HU, IL, JP, KG, KR, KZ, LT, LV, MD, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, UA, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2240946	AA	19970703	CA 1996-2240946	19961217
AU 9713358	A1	19970717	AU 1997-13358	19961217
EP 874629	A1	19981104	EP 1996-944844	19961217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
US 5939418	A	19990817	US 1996-768908	19961217
JP 2001502655	T2	20010227	JP 1997-523762	19961217

PRIORITY APPLN. INFO.:
US 1995-9508P P 19951221
US 1996-646903 A 19960508
US 1996-30666P P 19961112
WO 1996-US20076 W 19961217

OTHER SOURCE(S): MARPAT 127:135788
GI



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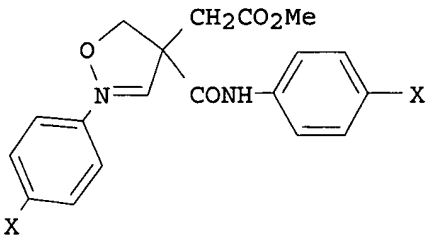


II

AB The title compds. [I; U = CONH(CH2)o, CO(CH2)o, SO2NH(CH2)o, etc.; o = 0-2; X = O, S, etc.; Y = (un)substituted aryl or heteroaryl, etc.; R1 = (CH2)pNR5R6, CONR5R6, etc.; R5, R6 = H, C1-6 alkyl, etc.; R2 = H, C1-6 alkyl or alkoxy, COR5, etc.; U, R2 = may combine together to provide a spiro compd. of heterocycle; V = (un)substituted aryl or heteroaryl, etc.; Z = CO, single bond, NH, O, etc.; D = (un)substituted aryl or heteroaryl, etc.; u = 0-1; m = 0-2; n = 0-4] are prepd. I, possessing Factor Xa inhibitory activity, are useful as anticoagulant agents for treatment and prevention of **thromboembolic** disorders (no data). Thus, isoxazoline deriv. (II; X = CN) (prepn. given) was treated with HCl gas and then reacted with NH4OAc. The reaction mixt. was purified by HPLC eluted with F3CCO2H (TFA) to give 20% the title compd. II.3TFA (X = NH2C:NH).

L7 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:105201 CAPLUS
DOCUMENT NUMBER: 126:117965
TITLE: Preparation of novel isoxazoline and isoxazole fibrinogen receptor antagonists
INVENTOR(S): Wityak, John; Cain, Gary Avonn; Batt, Douglas Guy; Pinto, Donald; Hussain, Munir Alwan; Xue, Chu-Biao; Sielecki-Dzurdz, Thais Motria; Olson, Richard Eric; Degrado, William Frank; Mousa, Shaker Ahmed
PATENT ASSIGNEE(S): Du Pont Merck Pharmaceutical Company, USA
SOURCE: PCT Int. Appl., 412 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9638426	A1	19961205	WO 1996-US7692	19960530

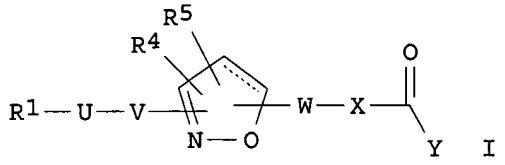
W: AM, AT, AU, AZ, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, HU, JP, KG, KR, KZ, LT, LU, LV, MD, MX, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, TJ, TM, UA, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

US 5849736	A	19981215	US 1995-455436	19950531
AU 9660243	A1	19961218	AU 1996-60243	19960530
AU 723577	B2	20000831		
EP 832076	A1	19980401	EP 1996-917833	19960530
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 11504651	T2	19990427	JP 1996-536579	19960530
BR 9609151	A	19990629	BR 1996-9151	19960530

PRIORITY APPLN. INFO.: US 1995-455436 A 19950531
US 1993-157598 B2 19931124
US 1994-232961 B2 19940422
US 1994-337920 B2 19941110
WO 1996-US7692 W 19960530

OTHER SOURCE(S): MARPAT 126:117965

GI



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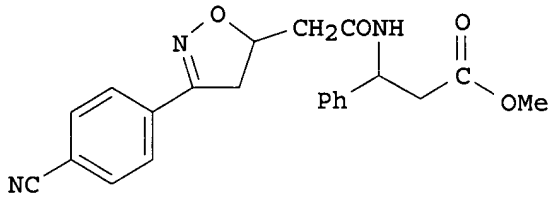
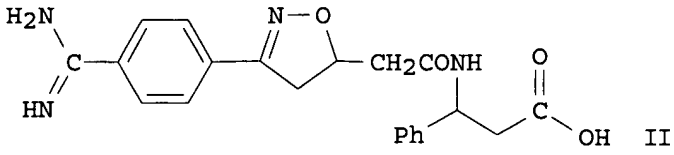


II



II

AB The title compds. [I; R1 = R2NR3(CH2)qZ- (wherein R2, R3 = H, C1-10 alkyl, C2-6 alkenyl, etc.; Z O, S, SO, SO2, etc.; q = 2-7), piperazinyl(CH2)qZ-, etc.; U = a single bond, C1-7 alkyl, C2-7 alkenyl, etc.; V = a single bond, (un)substituted C1-7 alkyl, etc.; W = a single bond, C1-7 alkyl, C2-7 alkenyl, etc.; X = a single bond, (un)substituted C1-7 alkyl, etc.; Y = OH, C1-10 alkoxy, etc.; R4 = H, C1-10 alkyl, C2-10 alkenyl, etc.; R5 = H, (un)substituted C1-10 alkyl, C2-10 alkenyl, etc.], useful alone or in combination with other therapeutic agents, for the inhibition of platelet aggregation, as thrombolytics, and/or for the treatment of **thromboembolic** disorders selected from, e.g. restenosis, atherosclerosis, stroke, myocardial infarction, and unstable angina, were prepd. and formulated. Thus, reaction of Me 3-(3-butenoyl)amino-3-phenylpropionate with 4-cyanobenzaldoxime in CH2Cl2 in the presence of 5% NaOCl (aq.) followed by treatment of the intermediate II in 10% DCM/MeOH with gaseous HCl, addn. of (NH4)2CO3 to the crude imidate in MeOH, and sapon. afforded III which showed IC50 of <10 .mu.M against platelet aggregation. Compds. I are useful also for treating rheumatoid arthritis, asthma, allergies, organ transplantation rejection, septic shock, psoriasis, contact dermatitis, osteoporosis, osteoarthritis, tumor metastasis, diabetic retinopathy, and inflammatory conditions.

09/ 924,381

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=> d his

     (FILE 'HOME' ENTERED AT 12:58:38 ON 02 MAY 2002)

     FILE 'REGISTRY' ENTERED AT 12:58:42 ON 02 MAY 2002
L1        949882 S PYRAZOL? OR TRIAZOL? OR TETRAZOL? OR ISOXAZOL?
L2         17350 S (SULPHONYL OR SULFONYL) AND BIPHENYL
L3          2804 S L1 AND L2
L4        822183 S (ISOQUINOLIN? OR BENZISOXAZOL? OR INDAZOL? OR QUINOLIN? OR QU
L5          2804 S L1 AND L2 AND L3

     FILE 'CAPLUS' ENTERED AT 13:03:38 ON 02 MAY 2002
L6           299 S L5
L7            12 S L6 AND THROMBOEMBOL?

=> log y
COST IN U.S. DOLLARS                            SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                                29.89      81.14

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)      SINCE FILE      TOTAL
                                                   ENTRY    SESSION
CA SUBSCRIBER PRICE                                -7.43      -7.43

STN INTERNATIONAL LOGOFF AT 13:04:43 ON 02 MAY 2002
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